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APPLICATION NO.	FILING DATE	FIRST NAMED INVENTOR	ATTORNEY DOCKET NO.	CONFIRMATION NO.
10/657,033	09/05/2003	Paul John Edwards	PC25402A	9054

28940 7590 04/22/2005

AGOURON PHARMACEUTICALS, INC.
10350 NORTH TORREY PINES ROAD
LA JOLLA, CA 92037

EXAMINER

SOLOLA, TAOFIQ A

ART UNIT	PAPER NUMBER
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1626

DATE MAILED: 04/22/2005

Please find below and/or attached an Office communication concerning this application or proceeding.

RECEIVED
MAY 27 2005
OPE/JCWS

Office Action Summary

Application No.

10/657,033

Applicant(s)

EDWARDS ET AL.

Examiner

Taofiq A. Solola

Art Unit

1626

-- The MAILING DATE of this communication appears on the cover sheet with the correspondence address --

Period for Reply

A SHORTENED STATUTORY PERIOD FOR REPLY IS SET TO EXPIRE 3 MONTH(S) FROM THE MAILING DATE OF THIS COMMUNICATION.

- Extensions of time may be available under the provisions of 37 CFR 1.136(a). In no event, however, may a reply be timely filed after SIX (6) MONTHS from the mailing date of this communication.
- If the period for reply specified above is less than thirty (30) days, a reply within the statutory minimum of thirty (30) days will be considered timely.
- If NO period for reply is specified above, the maximum statutory period will apply and will expire SIX (6) MONTHS from the mailing date of this communication.
- Failure to reply within the set or extended period for reply will, by statute, cause the application to become ABANDONED (35 U.S.C. § 133). Any reply received by the Office later than three months after the mailing date of this communication, even if timely filed, may reduce any earned patent term adjustment. See 37 CFR 1.704(b).

Status

- 1) ☐ Responsive to communication(s) filed on 31 March 2005.
- 2a) ☐ This action is **FINAL**. 2b) ☒ This action is non-final.
- 3) ☐ Since this application is in condition for allowance except for formal matters, prosecution as to the merits is closed in accordance with the practice under *Ex parte Quayle*, 1935 C.D. 11, 453 O.G. 213.

Disposition of Claims

- 4) ☐ Claim(s) 1-17 is/are pending in the application.
- 4a) Of the above claim(s) 1-17 is/are withdrawn from consideration.
- 5) ☐ Claim(s) _____ is/are allowed.
- 6) ☐ Claim(s) 1-9 is/are rejected.
- 7) ☐ Claim(s) _____ is/are objected to.
- 8) ☐ Claim(s) _____ are subject to restriction and/or election requirement.

Application Papers

- 9) ☐ The specification is objected to by the Examiner.
- 10) ☐ The drawing(s) filed on _____ is/are: a) ☐ accepted or b) ☐ objected to by the Examiner.
Applicant may not request that any objection to the drawing(s) be held in abeyance. See 37 CFR 1.85(a).
Replacement drawing sheet(s) including the correction is required if the drawing(s) is objected to. See 37 CFR 1.121(d).
- 11) ☐ The oath or declaration is objected to by the Examiner. Note the attached Office Action or form PTO-152.

Priority under 35 U.S.C. § 119

- 12) ☐ Acknowledgment is made of a claim for foreign priority under 35 U.S.C. § 119(a)-(d) or (f).
- a) ☐ All b) ☐ Some * c) ☐ None of:
1. ☐ Certified copies of the priority documents have been received.
2. ☐ Certified copies of the priority documents have been received in Application No. _____.
3. ☐ Copies of the certified copies of the priority documents have been received in this National Stage application from the International Bureau (PCT Rule 17.2(a)).

* See the attached detailed Office action for a list of the certified copies not received.

Attachment(s)

- 1) ☐ Notice of References Cited (PTO-892)
- 2) ☐ Notice of Draftsperson's Patent Drawing Review (PTO-948)
- 3) ☒ Information Disclosure Statement(s) (PTO-1449 or PTO/SB/08)
Paper No(s)/Mail Date 31.
- 4) ☐ Interview Summary (PTO-413)
Paper No(s)/Mail Date. _____.
- 5) ☐ Notice of Informal Patent Application (PTO-152)
- 6) ☐ Other: _____.

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Claims 1-17 are pending in this application.

Claims 10-17 are drawn to non-elected invention.

RESTRICTION REQUIREMENT

IN response to the restriction requirement mailed 3/10/05, applicant elects group I, claims 1-9, wherein in formula 1, R0 is ethylene; R1 is phenyl substituted at position 4 by SOyR5; y is 2; R5 is methyl; R2 are H; R3 is ethyl and R4 is 3,5-dicyanophenyl. Applicant also elects Example 3, page 24 of the specification and HIV as utility. The election is made with traverse on the basis that groups V and VII should be rejoined. The Examiner is in total agreement with applicant. If the elected group I is found in condition for allowance, group V, claim 16 would be rejoined if within the scope of the allowable subject matter, and if the claimed utility in group VII, claims 14-15, have support in the specification by way of published journal or biological assay. Claims 14-16 must be free of any other 35 USC 112, first and second paragraph problems. The restriction is now made FINAL.

Claims 1-9 are being examined in part subject to the election made above by applicant.

Status of Claims

The Office has reviewed the claims and disclosure to determine the scope of the independent invention encompassing the elected compound (compounds which are so similar thereto as to be within the same inventive concept and reduction to practice). The scope of an independent invention encompasses all compounds within the scope of the claims, which fall into the same class and subclass as the elected compound, but may include additional compounds, which fall in related subclasses. Examination of the elected compound AND the

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entire scope of the invention encompassing the elected compound as defined by common classification results in the following:

In formula 1, R1, R3 and R4 are as defined in claim 1; R0 is C1-C6 alkylene and R2 is H. As a result of the election and the corresponding scope of the invention identified above, the remaining subject matter of claims 1-9, are withdrawn from further consideration by the Examiner, under 37 CFR § 1.142(b), as being drawn to a non-elected subject matter. The withdrawn compounds are patentably distinct from the elected invention as they differ in structure and element and would require a separate search. In addition, a reference, which anticipates the elected invention, would not render obvious the non-elected subject matter.

Claim Rejections - 35 USC § 112

The following is a quotation of the second paragraph of 35 U.S.C. 112:

The specification shall conclude with one or more claims particularly pointing out and distinctly claiming the subject matter, which the applicant regards as his invention.

Claims 1-9 are rejected under 35 U.S.C. 112, second paragraph, as being indefinite for failing to particularly point out and distinctly claim the subject matter which applicant regards as the invention.

The term "including" line 1, claim 2, is confusing. Is the composition comprising other active compounds in addition to a compound of formula I? If not, applicant should correct the claim by replacing the term with "of". Also, since claim 2 is written as independent claim the structure of formula I and definitions of the substituents thereof must be recited in the claim. A claim must stand alone to define the invention, and incorporation into the claims by reference to the specification or an external source is not permitted. Ex parte Fressola, 27 USPQ 2d 1608, BdPatApp & Inter. (1993). In patent examination, it is essential for claims to be precise, clear, correct, and unambiguous. *In re Zletz*, 893 F.2d 319, 13 USPQ2d 1320 (Fed. Cir. 1989).

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Claims 4, 6, 8 are improperly depend from claim 2 for failure to further limit the scope of claim 2. For the same reason claims 5, 7, 9 are improperly depending from claim 3. All the claims are drawn to compositions but claims 4-9 recite intended use of the compositions. However, intended use is not a limitation of a compound or product. *In re Hack*, 114USPQ 161 (CCPA, 1957); *In re Craig*, 90 USPQ 33 (CCPA, 1951); *In re Brenner*, 82 USPQ 49 (CCPA, 1949). By deleting claims 4-9 the rejection would be overcome.

Claim Rejections - 35 USC § 102

The following is a quotation of the appropriate paragraphs of 35 U.S.C. 102 that form the basis for the rejections under this section made in this Office action:

A person shall be entitled to a patent unless –

(a) the invention was known or used by others in this country, or patented or described in a printed publication in this or a foreign country, before the invention thereof by the applicant for a patent.

Claims 1-9 are rejected under 35 U.S.C. 102(a) as being anticipated by Jones et al., WO 2002/085860.

Jones et al, disclose the instantly claimed compounds in generic formula 1, and the species. See the compounds in the attached abstract.

Double Patenting Rejection

The nonstatutory double patenting rejection is based on a judicially created doctrine grounded in public policy (a policy reflected in the statute) so as to prevent the unjustified or improper timewise extension of the "right to exclude" granted by a patent and to prevent possible harassment by multiple assignees. See *In re Goodman*, 11 F.3d 1046, 29 USPQ2d 2010 (Fed. Cir. 1993); *In re Longi*, 759 F.2d 887, 225 USPQ 645 (Fed. Cir. 1985); *In re Van Ornum*, 686 F.2d 937, 214 USPQ 761 (CCPA 1982); *In re Vogel*, 422 F.2d 438, 164 USPQ 619 (CCPA 1970); and, *In re Thorington*, 418 F.2d 528, 163 USPQ 644 (CCPA 1969).

A timely filed terminal disclaimer in compliance with 37 CFR 1.321(c) may be used to overcome an actual or provisional rejection based on a nonstatutory double patenting ground provided the conflicting application or patent is shown to be commonly owned with this application. See 37 CFR 1.130(b).

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Effective January 1, 1994, a registered attorney or agent of record may sign a terminal disclaimer. A terminal disclaimer signed by the assignee must fully comply with 37 CFR 3.73(b).

Claims 1-9 are rejected under the judicially created doctrine of obviousness-type double patenting as being unpatentable over claims of U.S. Patent No. 10/118,512, which is allowed. Although the conflicting claims are not identical, they are not patentably distinct from each other because both applications claim compounds having similar generic formulae and several same species are in the claims.

This is a provisional double patenting rejection since the conflicting claims are allowed and have not yet been patented.

Allowable Subject Matter

Claims 1-9, would be allowed if the claims are amended within the scope of the elected invention as suggested above under Status of Claims, 2nd paragraph.

Rejoinder of Claims

Claims 14-16 could not be rejoined because 14-15 recite "genetically-related retroviral infection" the viral of which is not disclosed in the specification and the variation between the viral not explained in the specification. Claim 16 is could not be rejoined because the claim is written in functional language and broader than the enabling disclosure in the specification for failure to recite with sufficient specificity how the reactions are performed. Instead the claim recites what is done. Also, claiming that all known alcohols have the same utility (applicable in the instant process) is not believable. The enumerated problems in claim 16 are examples only.

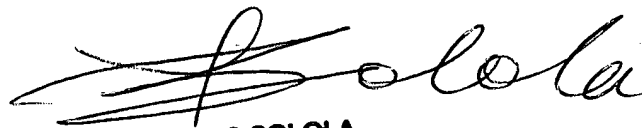
Art Unit: 1626

Telephone Inquiry

Any inquiry concerning this communication or earlier communications from the examiner should be directed to Taofiq A. Solola, PhD, JD, whose telephone number is (571) 272-0709.

If attempts to reach the examiner by telephone are unsuccessful, the examiner's supervisor, Mr. Joseph McKane, can be reached on (571) 272-0699. The fax phone number for this Group is (703) 872-9306.

Any inquiry of a general nature or relating to the status of this application or proceeding should be directed to the Group receptionist whose telephone number is (571) 272-1600.

A handwritten signature in black ink, appearing to read 'Solola', with a stylized flourish at the beginning.

TAOFIQ SOLOLA
PRIMARY EXAMINER
Group 1626

April 18, 2005

Substitute for form 1449/PTO

MAR 15 2004

INFORMATION DISCLOSURE STATEMENT BY APPLICANT

(Use as many sheets as necessary)

Complete if Known

Application Number	10/657,033
Filing Date	09-05-2003
First Named Inventor	Paul John Edwards
Art Unit	1614
Examiner Name	TBA
Attorney Docket Number	PC25402A

U.S. PATENT DOCUMENTS

EXAMINER INITIAL	Cite No. ¹	DOCUMENT NUMBER	Publication Date MM-DD-YYYY	Name of Patentee or Applicant of Cited Document	Pages, Columns, Lines, Where Relevant Passages or Relevant Figures Appear
		Number-Kind Code ²			

FOREIGN PATENT DOCUMENTS

EXAMINER INITIAL	Cite No. ¹	Foreign Patent Document	Publication Date MM-DD-YYYY	Name of Patentee or Applicant of Cited Document	Pages, Columns, Lines, Where Relevant Passages or Relevant Figures Appear	T ⁶
		Country Code ³ Number ⁴ Kind Code ⁵ (if known)				
70		WO 02/085860	10/31/2002	Jones, et al		

EXAMINER:

T. A. Solola

DATE CONSIDERED:

4-18-05

EXAMINER: Initial if reference considered, whether or not citation is in conformance with MPEP 609. Draw line through citation if not in conformance and not considered. Include copy of this form with next communication to applicant. ¹Applicant's unique citation designation number (optional). ²See Kinds Codes of USPTO Patent Documents at www.uspto.gov or MPEP 901.04. ³Enter Office that issued the document, by the two-letter code (WIPO Standard ST.3). ⁴For Japanese patent documents, the indication of the year of the reign of the Emperor must precede the serial number of the patent document. ⁵Kind of document by the appropriate symbols as indicated on the document under WIPO Standard ST. 16 if possible. ⁶Applicant is to place a check mark here if English language Translation is attached.

This collection of information is required by 37 CFR 1.97 and 1.98. The information is required to obtain or retain a benefit by the public, which is to file (and by the USPTO to process) an application. Confidentiality is governed by 35 U.S.C. 122 and 37 CFR 1.14. This collection is estimated to take 2 hours to complete, including gathering, preparing, and submitting the completed application form to the USPTO. Time will vary depending upon the individual case. Any comments on the amount of time you require to complete this form and/or suggestions for reducing this burden, should be sent to the Chief Information Officer, U.S. Patent and Trademark Office, U.S. Department of Commerce, Washington, D.C. 20231. DO NOT SEND FEES OR COMPLETED FORMS TO THIS ADDRESS. Send to: Commissioner for Patents, P.O. Box 1450, Alexandria, VA 22313-1450.

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NON PATENT LITERATURE DOCUMENTS

[illegible]

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INFORMATION DISCLOSURE STATEMENT BY APPLICANT

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Application Number	10/657,033
Filing Date	September 5, 2003
First Named Inventor	Paul John Edwards
Art Unit	TBA
Examiner Name	TBA
Attorney Docket Number	PC25402A

U.S. PATENT DOCUMENTS

EXAMINER INITIAL	Cite No. ¹	DOCUMENT NUMBER Number-Kind Code ²	Publication Date MM-DD-YYYY	Name of Patentee or Applicant of Cited Document	Pages, Columns, Lines, Where Relevant Passages or Relevant Figures Appear
*	AA	60/432,781	12-11-02	Pfizer Inc.	
YD	AB	6,586,430	07-01-2003	Pfizer, Inc.	
* Not a prior art if not published.					

FOREIGN PATENT DOCUMENTS

EXAMINER INITIAL	Cite No. ¹	Foreign Patent Document Country Code ³ Number ⁴ Kind Code ⁵ (if known)	Publication Date MM-DD-YYYY	Name of Patentee or Applicant of Cited Document	Pages, Columns, Lines, Where Relevant Passages or Relevant Figures Appear	T ⁶
YD	AC	UK 0223234.6	06-04-03	Pfizer Limited		
	AD	WO 91/11172	08-08-1991	The University of Kansas		
	AE	WO 94/02518	02-03-1994	The University of Kansas		
	AF	WO 98/55148	12-10-1998	Janssen Pharmaceutica		
	AG	WO 02/04424 A1	01-17-2002	Pfizer Limited		
✓	AH	WO 02/30907 A1	04-18-2002	F. Hoffmann-La Roche		

EXAMINER:

T. A. Solola

DATE CONSIDERED:

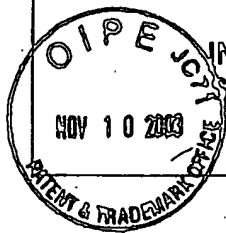
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Filing Date	September 5, 2003
First Named Inventor	Paul John Edwards
Art Unit	TBA
Examiner Name	TBA
Attorney Docket Number	PC25402A

NON PATENT LITERATURE DOCUMENTS

Examiner Initials	Cite No. ¹	Include name of the author (in CAPITAL LETTERS), title of the article (when appropriate), title of the item (book, magazine, journal, serial, symposium, catalog, etc.), date, page(s), volume-issue number(s), publisher, city and/or country where published.	T ²
YO	AI	BERGE, et al., "Pharmaceutical Salts," <i>Journal of Pharmaceutical Sciences</i> , 1977, 1-19, vol. 66, No. 1.	
	AJ	BIGHLEY, et al., "Salt Forms of Drugs and Absorption," <i>Encyclopedia of Pharmaceutical Technology</i> , Marcel Dekker Inc. New York, 1996, pages 453-497, vol. 13.	
	AK	BUNDGAARD, H., <i>Design of Prodrugs</i> , 1985, Elsevier Science Publishers, Amsterdam, New York, Oxford.	
	AL	CAREY, et al., "Part A: Structure and Mechanisms," <i>Advanced Organic Chemistry</i> , 3 rd Edition, Plenum Press, New York, London, 1990.	
	AM	FERRES, et. al., "Pro-Drugs of β -Lactam Antibiotics," <i>Drugs of Today</i> 1983, 499-538, Vol. 19, No. 9.	
	AN	GENIN, M. et al., "Novel 1,5-Diphenylpyrazole Nonnucleoside HIV-1 Reverse Transcriptase Inhibitors with Enhanced Activity Versus The Delavirdine-Resistant P236L Mutant: Lead Identification and SAR of 3- and 4-Substituted Derivatives," <i>Journal of Medicinal Chemistry</i> , 2000, vol. 43, page 1034-1040.	
	AO	GREENE, T. <i>Protective Groups In Organic Synthesis</i> 2 nd Edition 1991, John Wiley & Sons, Inc., New York, Chichester, Brisbane, Toronto, Singapore.	
	AP	HAJIMORAD, et al., "Some Observations On The Binding Properties Of Alfalfa Mosaic Virus To Polystyrene And Its Significance To Indirect ELISA," <i>Archives of Virology</i> , 1991, pages 219-235, Vol. 117.	
	AQ	KATRITZKY, et al. "The Structure, Reactions, Synthesis and Uses of Heterocyclic Compounds," <i>Comprehensive Heterocyclic Chemistry</i> Vol. 1-11, Pergamon Press, Oxford, New York, Toronto, Sydney, Paris, Frankfurt, 1984.	

EXAMINER:

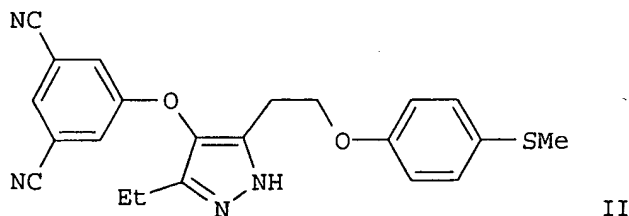
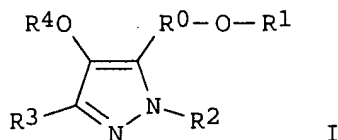
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AB The title compds. [I; R0 = absent, alkylene; R1 = Ph substituted by SOyR5, alkylene(SOyR5), SOyCF3, etc.; R2 = H, alkyl, cycloalkyl, etc.; R3 = H, alkyl, cycloalkyl, Ph, etc.; R4 = (un)substituted Ph, naphthyl, pyridyl; R5 = H, alkyl, cycloalkyl, etc.; y = 0-2] which bind to the enzyme reverse transcriptase and are modulators, especially inhibitors thereof, and as such are

useful in the treatment of a variety of disorders including those in which the inhibition of reverse transcriptase is implicated, were prepared and formulated. Disorders of interest include those caused by Human Immunodeficiency Virus (HIV) and genetically related retroviruses, such as Acquired Immune Deficiency Syndrome (AIDS). Thus, reacting 5-{[3-ethyl-5-(2-hydroxyethyl)-1H-pyrazol-4-yl]oxy}isophthalonitrile (preparation given) with 4-(methylmercapto)phenol afforded I [R0 = (CH2)2; R1 = 4-(MeS)C6H4; R2 = H; R3 = Et; R4 = 3,5-(NC)2C6H3] which showed IC50 of 2 nM against HIV-1 reverse transcriptase. The pharmaceutical composition comprising the compound I is claimed.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L14 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2002:832763 CAPLUS

DOCUMENT NUMBER: 137:337884

TITLE: Preparation of aryloxy pyrazole derivatives as reverse transcriptase inhibitors for treating HIV

INVENTOR(S): Jones, Lyn Howard; Mowbray, Charles Eric; Price, Davis Anthony; Selby, Matthew Duncan; Stupple, Paul Anthony

PATENT ASSIGNEE(S): Pfizer Limited, UK; Pfizer Inc.

SOURCE: PCT Int. Appl., 306 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002085860	A1	20021031	WO 2002-IB1234	20020404
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,				

CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU,
TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
CA 2443449 AA 20021031 CA 2002-2443449 20020404
EP 1377556 A1 20040107 EP 2002-708600 20020404
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
EE 200300497 A 20040216 EE 2003-497 20020404
BR 2002008811 A 20040309 BR 2002-8811 20020404
JP 2004531535 T2 20041014 JP 2002-583387 20020404
US 2003100554 A1 20030529 US 2002-118512 20020405
ZA 2003007095 A 20040910 ZA 2003-7095 20030910
NO 2003004523 A 20031209 NO 2003-4523 20031009
PRIORITY APPLN. INFO.: GB 2001-8999 A 20010410
GB 2001-27426 A 20011115
US 2001-289570P P 20010508
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WO 2002-IB1234 W 20020404

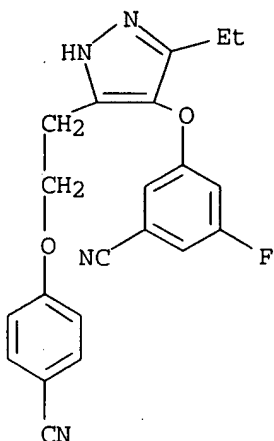
OTHER SOURCE(S): MARPAT 137:337884

IT 473921-42-5P, 3-[[5-[2-(4-Cyanophenoxy)ethyl]-3-ethyl-1H-pyrazol-4-yl]oxy]-5-fluorobenzonitrile 473921-43-6P, 3-Fluoro-5-[[[3-ethyl-5-(2-((2-methyl-3-pyridyl)oxy)ethyl)-1H-pyrazol-4-yl]oxy]benzonitrile 473921-44-7P, 3-Fluoro-5-[[[3-ethyl-5-(2-((3-pyridyl)oxy)ethyl)-1H-pyrazol-4-yl]oxy]benzonitrile 473921-45-8P, 3-Fluoro-5-[[[3-ethyl-5-(2-((2-amino-3-pyridyl)oxy)ethyl)-1H-pyrazol-4-yl]oxy]benzonitrile
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of aryloxy pyrazole derivs. as reverse transcriptase inhibitors for treating HIV)

RN 473921-42-5 CAPLUS

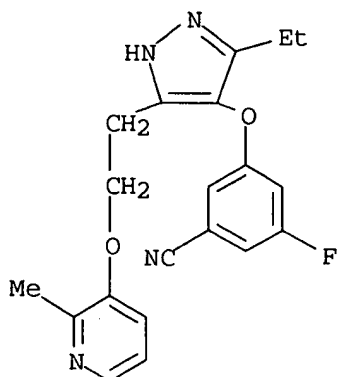
CN Benzonitrile, 3-[[5-[2-(4-cyanophenoxy)ethyl]-3-ethyl-1H-pyrazol-4-yl]oxy]-5-fluoro- (9CI) (CA INDEX NAME)



RN 473921-43-6 CAPLUS

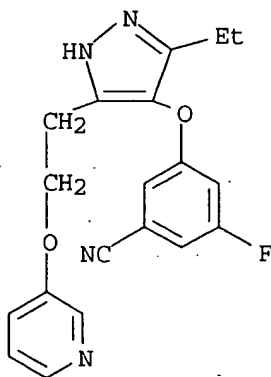
10/657,033

CN Benzonitrile, 3-[[3-ethyl-5-[2-[(2-methyl-3-pyridinyl)oxy]ethyl]-1H-pyrazol-4-yl]oxy]-5-fluoro- (9CI) (CA INDEX NAME)



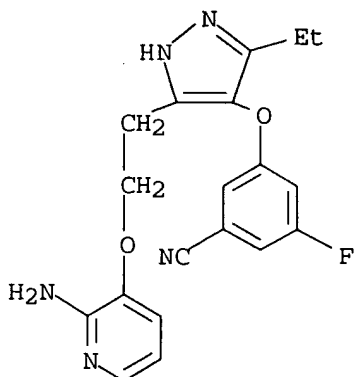
RN 473921-44-7 CAPLUS

CN Benzonitrile, 3-[[3-ethyl-5-[2-(3-pyridinyloxy)ethyl]-1H-pyrazol-4-yl]oxy]-5-fluoro- (9CI) (CA INDEX NAME)

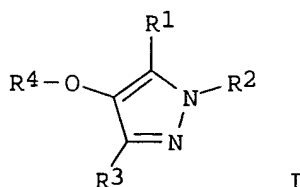


RN 473921-45-8 CAPLUS

CN Benzonitrile, 3-[[5-[2-[(2-amino-3-pyridinyl)oxy]ethyl]-3-ethyl-1H-pyrazol-4-yl]oxy]-5-fluoro- (9CI) (CA INDEX NAME)



GI



AB This invention relates to pyrazole derivs. (shown as I; e.g. 2-Amino-6-[[4-(3,5-dichlorophenoxy)-3,5-diethyl-1H-pyrazol-1-yl]methyl]-4(3H)-pyrimidinone) or pharmaceutically acceptable salts, solvates or derivative thereof, wherein R1 to R4 are defined below, and to processes for the preparation thereof, intermediates used in their preparation of, compns. containing

them and the uses of such derivs. The compds. of the present invention bind to the enzyme reverse transcriptase and are modulators, especially inhibitors thereof. As such the compds. of the present invention are useful in the treatment of a variety of disorders including those in which the inhibition of reverse transcriptase is implicated. Disorders of interest include those caused by Human Immunodeficiency Virus (HIV) and genetically related retroviruses, such as Acquired Immune Deficiency Syndrome (AIDS). In tests of inhibition of HIV-1 reverse transcriptase enzyme, the claimed compds. 2-amino-6-[[4-(3,5-dichlorophenoxy)-3,5-diethyl-1H-pyrazol-1-yl]methyl]-4(3H)-pyrimidinone, 3,5-dimethyl-4-[[3,5-diethyl-1-(2-hydroxyethyl)-1H-pyrazol-4-yl]oxy]benzonitrile and 1-(3-azetidiny)-4-(3,5-dichlorophenoxy)-3,5-diethyl-1H-pyrazole had IC50 values of 39,000, 3,200 and 248 nM, resp. In I: R1 is H, C1-C6 alkyl, C3-C7 cycloalkyl, Ph, benzyl, halo, -CN, -OR7, -CO2R10, -CONR5R10, R8 or R9. R2 is H, C1-C6 alkyl, C3-C6 alkenyl, C3-C6 alkynyl, C3-C7 cycloalkyl, C3-C7 cycloalkenyl, Ph, benzyl, R8 or R9; or, R1 and R2, when taken together, represent unbranched C3-C4 alkylene. R3 is H, C1-C6 alkyl, C3-C7 cycloalkyl, Ph, benzyl, halo, -CN, -OR7, -CO2R5, -CONR5R5, R8 or R9; R4 is Ph, naphthyl or pyridyl. Definitions of R5 and R7-R10 and addnl. specifications are given in the claims. Included are 283 claimed-compound preps. and 115 intermediate preps.

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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ENTRY	SESSION
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FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE	TOTAL
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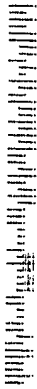
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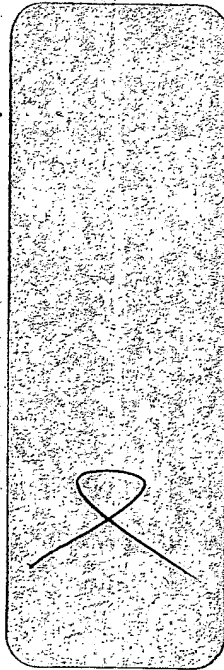


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